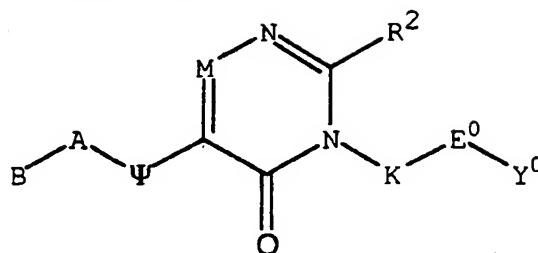


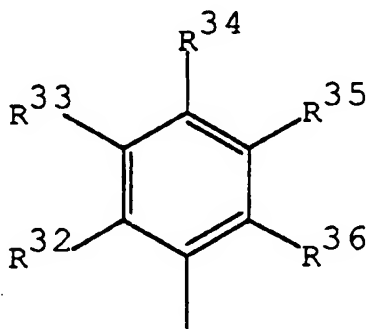
What we claim is:

1. A compound having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

5 B is the Formula:



$R^9, R^{10}, R^{11}, R^{12}, R^{13}, R^{32}, R^{33}, R^{34}, R^{35},$  and  $R^{36}$  are

independently selected from the group consisting of hydrido, acetamido,  
haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio,  
10 alkanoyloxy, alkoxy, alkoxyalkyl, haloalkoxylalkyl, hydroxy, amino,  
alkoxyamino, nitro, lower alkylamino, alkylthio, alkylthioalkyl, alkylsulfinyl,  
alkylsulfonyl, alkylsulfonylalkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,  
alkylsulfonamido, alkylaminosulfonyl, amidosulfonyl, monoalkyl  
amidosulfonyl, dialkyl amidosulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl,  
15 halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyhaloalkyl, hydroxyalkyl,  
aminoalkyl, haloalkoxyalkyl, carboxyalkyl, carboalkoxy, carboxy,  
carboxamido, carboxamidoalkyl, and cyano;

$R^9, R^{10}, R^{11}, R^{12},$  and  $R^{13}$  are optionally selected from the group

consisting of heteroaryl and heterocyclyl with the proviso that  $R^9, R^{10}, R^{11},$

20  $R^{12},$  and  $R^{13}$  are substituents for other than B;

$R^{16}$ ,  $R^{19}$ ,  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

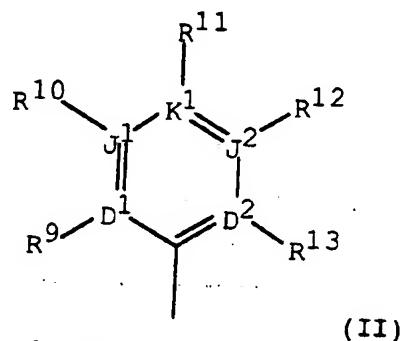
- 5 B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B may be optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;
- 10 B is selected from the group consisting of C3-C12 cycloalkyl and C4-heterocyclyl, wherein each ring carbon may be optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogens
- 15 adjacent to the carbon at the point of attachment may be optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment may be substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment may be substituted with  $R^{12}$ , a ring carbon three atoms from the
- 20 point of attachment and adjacent to the  $R^{10}$  position may be substituted with  $R^{11}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{12}$  position may be substituted with  $R^{33}$ , and a ring carbon four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions may be substituted with  $R^{34}$ ;

- A is selected from the group consisting of single covalent bond,  
 $(W^7)_{rr}-(CH(R^{15}))_{pa}$  and  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is an integer  
 selected from 0 through 1,  $pa$  is an integer selected from 0 through 6, and  $W^7$   
 is selected from the group consisting of O, S, C(O),  $(R^7)NC(O)$ ,  $(R^7)NC(S)$ ,  
 5 and  $N(R^7)$  with the proviso that no more than one of the group consisting of  $rr$   
 and  $pa$  is 0 at the same time;  
 $R^7$  is selected from the group consisting of hydrido, hydroxy, and  
 alkyl;  
 $R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo,  
 10 alkyl, and haloalkyl;  
 $\Psi$  is selected from the group consisting of NH and NOH;  
 $M$  is selected from the group consisting of N and  $R^1-C$ ;  
 $R^1$  is selected from the group consisting of hydrido, alkyl, alkenyl,  
 cyano, halo, haloalkyl, haloalkoxy, haloalkylthio, amino, aminoalkyl,  
 15 alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl,  
 alkoxyamino, thiol, and alkylthio;  
 $R^2$  is  $Z^0-Q$ ;  
 $Z^0$  is selected from the group consisting of covalent single bond,  
 $(CR^{41}R^{42})_q$  wherein  $q$  is an integer selected from 1 through 3,  $(CH(R^{41}))_g-$   
 20  $W^0-(CH(R^{42}))_p$  wherein  $g$  and  $p$  are integers independently selected from 0  
 through 3 and  $W^0$  is selected from the group consisting of O, S, C(O), S(O),  
 $N(R^{41})$ , and  $ON(R^{41})$ , and  $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$  wherein  $e$  and  $h$   
 are integers independently selected from 0 through 1 and  $W^{22}$  is selected from  
 the group consisting of  $CR^{41}=CR^{42}$ , 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-  
 25 cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-  
 morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-

morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that  $Z^0$  is directly bonded to the pyrazinone ring;

$R^{41}$  and  $R^{42}$  are independently selected from the group consisting of amidino, hydroxyamino, hydrido, hydroxy, amino, and alkyl;

10  $Q$  is selected from the group consisting of hydrido, with the proviso that  $Z^0$  is other than a covalent single bond, and the formula (II):



wherein  $D^1$ ,  $D^2$ ,  $J^1$ ,  $J^2$  and  $K^1$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of  $D^1$ ,  $D^2$ ,  $J^1$ ,  $J^2$  and  $K^1$  is O, no more than one of  $D^1$ ,  $D^2$ ,  $J^1$ ,  $J^2$  and  $K^1$  is S, one of  $D^1$ ,  $D^2$ ,  $J^1$ ,  $J^2$  and  $K^1$  must be a covalent bond when two of  $D^1$ ,  $D^2$ ,  $J^1$ ,  $J^2$  and  $K^1$  are O and S, and no more than four of  $D^1$ ,  $D^2$ ,  $J^1$ ,  $J^2$  and  $K^1$  are N, with the proviso that  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

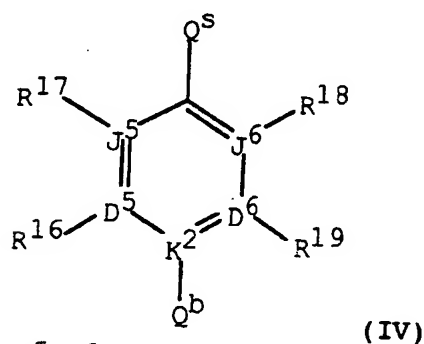
20  $K$  is  $(CR^{4a}R^{4b})_n$  wherein  $n$  is an integer selected from 1 through 2;



$R^{4a}$  and  $R^{4b}$  are independently selected from the group consisting of halo, hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$E^0$  is  $E^1$ , when  $K$  is  $(CR^{4a}R^{4b})_n$ , wherein  $E^1$  is selected from the group consisting of a covalent single bond,  $C(O)$ ,  $C(S)$ ,  $C(O)N(R^7)$ ,  $(R^7)NC(O)$ ,  $S(O)_2$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

$Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, nitro, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, alkenyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, haloalkoxyalkyl, carboalkoxy, and cyano;

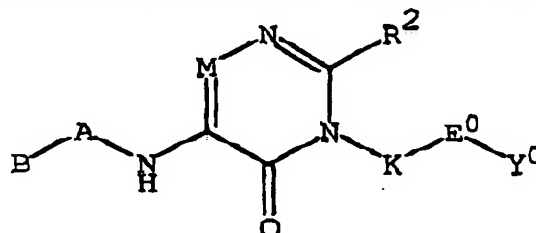
- $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ , aminoalkylenyl,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy, amino, alkylamino, or dialkylamino at the same time;
- $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, aminoalkylenyl, amino, dialkylamino, alkylamino, and hydroxyalkyl;
- $Q^s$  is selected from the group consisting of a single covalent bond,  $(CR^{37}R^{38})_b$  wherein  $b$  is an integer selected from 1 through 4, and  $(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$  wherein  $c$  and  $d$  are integers independently selected from 1 through 3 and  $W^1$  is selected from the group consisting of  $C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and  $N(R^{14})$ , with the provisos that  $R^{14}$  is selected from other than halo when directly bonded to N and that  $(CR^{37}R^{38})_b$  and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;
- $R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;
- $R^{37}$  and  $R^{38}$  are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;
- $R^{38}$  is optionally selected from the group consisting of aroyl and heteroaroyl;

$Y^0$  is optionally  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ ,  
 wherein  $e$  and  $h$  are integers independently selected from 1 through 2 and  $W^2$   
 is  $CR^{4a}=CR^{4b}$  with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ ;

$Y^0$  is optionally selected from the group consisting of  $Q^b-Q^{ssss}$  and  $Q^b-Q^{sssr}$  wherein  $Q^{ssss}$  is  $(CH(R^{38}))_r-W^5$  and  $Q^{sssr}$  is  $(CH(R^{38}))_r-W^6$ ,  $r$  is an  
 5 integer selected from 1 through 2, and  $W^5$  and  $W^6$  are independently selected  
 from the group consisting of 1,4-indenyl, 1,5-indenyl, 1,6-indenyl, 1,7-  
 indenyl, 2,7-indenyl, 2,6-indenyl, 2,5-indenyl, 2,4-indenyl, 3,4-indenyl, 3,5-  
 indenyl, 3,6-indenyl, 3,7-indenyl, 2,4-benzofuranyl, 2,5-benzofuranyl, 2,6-  
 10 benzofuranyl, 2,7-benzofuranyl, 3,4-benzofuranyl, 3,5-benzofuranyl, 3,6-  
 benzofuranyl, 3,7-benzofuranyl, 2,4-benzothiophenyl, 2,5-benzothiophenyl,  
 2,6-benzothiophenyl, 2,7-benzothiophenyl, 3,4-benzothiophenyl, 3,5-  
 benzothiophenyl, 3,6-benzothiophenyl, 3,7-benzothiophenyl, 2,7-  
 imidazo(1,2-a)pyridinyl, 3,4-imidazo(1,2-a)pyridinyl, 3,5-imidazo(1,2-  
 15 a)pyridinyl, 3,6-imidazo(1,2-a)pyridinyl, 3,7-imidazo(1,2-a)pyridinyl, 2,4-  
 indolyl, 2,5-indolyl, 2,6-indolyl, 2,7-indolyl, 3,4-indolyl, 3,5-indolyl, 3,6-  
 indolyl, 3,7-indolyl, 1,4-isoindolyl, 1,5-isoindolyl, 1,6-isoindolyl, 2,4-  
 isoindolyl, 2,5-isoindolyl, 2,6-isoindolyl, 2,7-isoindolyl, 1,3-isoindolyl, 3,4-  
 indazolyl, 3,5-indazolyl, 3,6-indazolyl, 3,7-indazolyl, 2,4-benzoxazolyl, 2,5-  
 20 benzoxazolyl, 2,6-benzoxazolyl, 2,7-benzoxazolyl, 3,4-benzisoxazolyl, 3,5-  
 benzisoxazolyl, 3,6-benzisoxazolyl, 3,7-benzisoxazolyl, 1,4-naphthyl, 1,5-  
 naphthyl, 1,6-naphthyl, 1,7-naphthyl, 1,8-naphthyl, 2,4-naphthyl, 2,5-  
 naphthyl, 2,6-naphthyl, 2,7-naphthyl, 2,8-naphthyl, 2,4-quinolinyl, 2,5-  
 quinolinyl, 2,6-quinolinyl, 2,7-quinolinyl, 2,8-quinolinyl, 3,4-quinolinyl, 3,5-  
 25 quinolinyl, 3,6-quinolinyl, 3,7-quinolinyl, 3,8-quinolinyl, 4,5-quinolinyl, 4,6-  
 quinolinyl, 4,7-quinolinyl, 4,8-quinolinyl, 1,4-isoquinolinyl, 1,5-  
 isoquinolinyl, 1,6-isoquinolinyl, 1,7-isoquinolinyl, 1,8-isoquinolinyl, 3,4-  
 isoquinolinyl, 3,5-isoquinolinyl, 3,6-isoquinolinyl, 3,7-isoquinolinyl, 3,8-  
 isoquinolinyl, 4,5-isoquinolinyl, 4,6-isoquinolinyl, 4,7-isoquinolinyl, 4,8-  
 30 isoquinolinyl, 3,4-cinnolinyl, 3,5-cinnolinyl, 3,6-cinnolinyl, 3,7-cinnolinyl,  
 3,8-cinnolinyl, 4,5-cinnolinyl, 4,6-cinnolinyl, 4,7-cinnolinyl, and 4,8-  
 cinnolinyl, and each carbon and hyrido containing nitrogen member of the ring

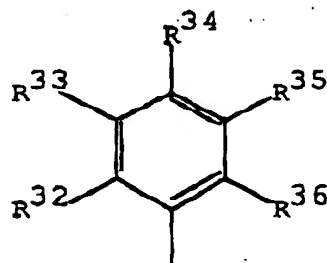
- of the  $W^5$  and of the ring of the  $W^6$ , other than the points of attachment of  $W^5$  and  $W^6$ , is optionally substituted with one or more of the group consisting of  $R^9$ ,  $R^{10}$ ,  $R^{11}$ , and  $R^{12}$ , with the provisos that  $Q^b$  is bonded to lowest number substituent position of each  $W^5$ ,  $Q^b$  is bonded to highest number substituent position of each  $W^6$ , and  $(CH(R^{38}))_r$  is bonded to  $E^0$ .

2. The compound as recited in Claim 1 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 10 B is the Formula:



- 15  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heterocyclyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, trialkylsilyl; C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is selected from the group consisting of C3-C12 cycloalkyl and C4-heterocyclyl, wherein each ring carbon may be optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A may be optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogens adjacent to the carbon at the point of attachment may be optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment may be substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment may be substituted with  $R^{12}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{10}$  position may be substituted with  $R^{11}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{12}$  position may be substituted with  $R^{33}$ , and a ring carbon four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions may be substituted with  $R^{34}$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl,

dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

- $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are optionally selected from the group consisting of heteroaryl and heterocyclyl with the proviso that  $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are substituents for other than B;

A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}-(W^7)_r$  wherein  $r$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is selected from the group consisting of O, S, C(O),  $(R^7)NC(O)$ ,  $(R^7)NC(S)$ , and  $N(R^7)$ ;

- 10  $R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;  
 $R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and  $R^1-C$ ;

- 15  $R^1$  is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$R^2$  is  $Z^0-Q$ ;

- 20  $Z^0$  is selected from the group consisting of covalent single bond and  $(CR^{41}R^{42})_q$  wherein  $q$  is an integer selected from 1 through 2,  $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$  wherein  $g$  and  $p$  are integers independently selected from 0 through 3 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ , and  $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$  wherein  $e$  and  $h$  are integers independently selected from 0 through 1 and  $W^{22}$  is selected from the group consisting of

$CR^{41}=CR^{42}$ , 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that  $Z^0$  is directly bonded to the pyrazinone ring;

10  $R^{41}$  and  $R^{42}$  are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of hydrido, with the proviso that  $Z^0$  is other than a covalent single bond, aryl, and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is

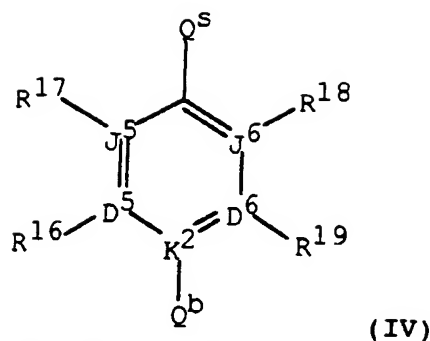
15 by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is

20 optionally substituted by  $R^{11}$ ;

K is  $CHR^{4a}$  wherein  $R^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$E^0$  is selected from the group consisting of a covalent single bond,  $C(O)N(H)$ ,  $(H)NC(O)$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

25  $Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one can be a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  can be O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  can be S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  can be N, with the provisos that  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy, amino, alkylamino, or



dialkylamino at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is

hydroxy, amino, alkylamino, or dialkylamino at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

5  $Q^5$  is selected from the group consisting of a single covalent bond,

$(CR^{37}R^{38})_b$  wherein b is an integer selected from 1 through 4, and

$(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$  wherein c and d are integers independently

selected from 1 through 3 and  $W^1$  is selected from the group consisting of

$C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and

10  $N(R^{14})$ , with the provisos that  $R^{14}$  is selected from other than halo when directly bonded to N and that  $(CR^{37}R^{38})_b$  and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;

$R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^{37}$  and  $R^{38}$  are independently selected from the group consisting of

15 hydrido, alkyl, and haloalkyl;

$R^{38}$  is optionally selected from the group consisting of aroyl and heteroaroyl;

$Y^0$  is optionally  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ ,

wherein e and h are integers independently selected from 1 through 2 and  $W^2$

20 is  $CR^{4a}=CH$  with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ .

3. The compound as recited in Claim 2 or a pharmaceutically acceptable salt thereof, wherein;

25 B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to

and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is  $(CH(R^{15}))_{pa}-W^7$  wherein pa is an integer selected from 1 through 3 and  $W^7$  is selected from the group consisting of O, S, and  $N(R^7)$  wherein  $R^7$  is selected from the group consisting of hydrido and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl with the proviso that  $R^{15}$  is other than hydroxy and halo when  $R^{15}$  is on the carbon bonded directly to  $W^7$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of covalent single bond and  $(CR^{41}R^{42})_q$  wherein q is an integer selected from 1 through 2;

$R^{41}$  and  $R^{42}$  are independently selected from the group consisting of hydrido, hydroxy, and amino;

Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally

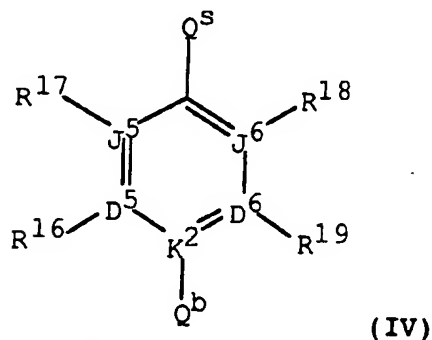
substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylendioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

K is  $CHR^{4a}$  wherein  $R^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;  $E^0$  is selected from the group consisting of a covalent single bond,

$C(O)N(H)$ ,  $(H)NC(O)$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

$Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more

than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

5  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, alkylamino, and cyano;

10  $R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy, amino, alkylamino, or

15 dialkylamino at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy, amino, alkylamino, or dialkylamino at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

$Q^s$  is selected from the group consisting of a single covalent bond,

20  $(CR^{37}R^{38})_b$  wherein b is an integer selected from 1 through 3, and

$(CH(R^{14}))_c-W^1-(CH(R^{15}))_d$  wherein c and d are integers independently

selected from 1 through 2 and  $W^1$  is selected from the group consisting of

$C(O)N(R^{14})$ ,  $(R^{14})NC(O)$ ,  $S(O)$ ,  $S(O)_2$ ,  $S(O)_2N(R^{14})$ ,  $N(R^{14})S(O)_2$ , and

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$N(R^{14})$ , with the provisos that  $R^{14}$  is selected from other than halo when directly bonded to N and that  $(CR^{37}R^{38})_b$ , and  $(CH(R^{14}))_c$  are bonded to  $E^0$ ;

$R^{14}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

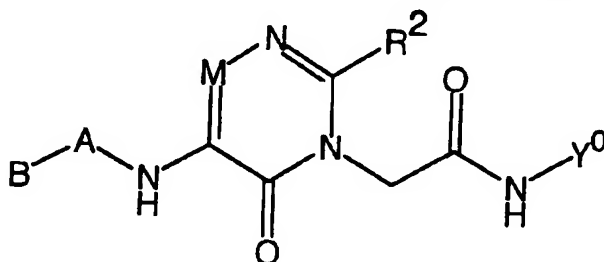
5  $R^{37}$  and  $R^{38}$  are independently selected from the group consisting of hydrido, alkyl, and haloalkyl;

$R^{38}$  is optionally selected from the group consisting of aroyl and heteroaroyl;

$Y^0$  is optionally  $Q^b-Q^{ss}$  wherein  $Q^{ss}$  is  $(CH(R^{14}))_e-W^2-(CH(R^{15}))_h$ ,

10 wherein e and h are integers independently selected from 1 through 2 and  $W^2$  is  $CR^{4a}=CH$  with the proviso that  $(CH(R^{14}))_e$  is bonded to  $E^0$ .

4. The compound as recited in Claim 3 having the Formula:



15 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, trialkylsilyl, C2-C4 alkyl, C3-C5 alkylenyl, C3-C4 alkenyl, C3-C4 alkynyl, and C2-C4 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more

20 of the group consisting of  $R^{32}$ ,  $R^{33}$ , and  $R^{34}$ ;

$R^{32}$ ,  $R^{33}$ , and  $R^{34}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl,

monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

A is  $(\text{CH}(\text{R}^{15}))_{\text{pa}}\text{-N}(\text{R}^7)$  wherein pa is an integer selected from 1

through 2 and  $\text{R}^7$  is selected from the group consisting of hydrido and alkyl;

5  $\text{R}^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and  $\text{R}^1\text{-C}$ ;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, 10 alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

$\text{Z}^0$  is selected from the group consisting of covalent single bond and

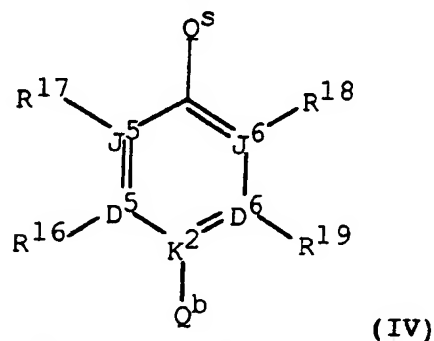
$\text{CH}_2$ ;

15 Q is selected from the group consisting of aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $\text{R}^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $\text{R}^{13}$ , a carbon adjacent to  $\text{R}^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $\text{R}^{10}$ , a carbon adjacent to  $\text{R}^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $\text{R}^{12}$ , and any carbon adjacent to both  $\text{R}^{10}$  and  $\text{R}^{12}$  is optionally substituted by  $\text{R}^{11}$ ;

20  $\text{R}^9$ ,  $\text{R}^{11}$ , and  $\text{R}^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

- $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

$Y^0$  is formula (IV):



- wherein D<sup>5</sup>, D<sup>6</sup>, J<sup>5</sup>, and J<sup>6</sup> are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, K<sup>2</sup> is C, no more than one of D<sup>5</sup>, D<sup>6</sup>, J<sup>5</sup>, and J<sup>6</sup> is O, no more than one of D<sup>5</sup>, D<sup>6</sup>, J<sup>5</sup>, and J<sup>6</sup> is S, one of D<sup>5</sup>, D<sup>6</sup>, J<sup>5</sup>, and J<sup>6</sup> must be a covalent bond when two of D<sup>5</sup>, D<sup>6</sup>, J<sup>5</sup>, and J<sup>6</sup> are O and S, and no more than four of D<sup>5</sup>, D<sup>6</sup>, J<sup>5</sup>, and J<sup>6</sup> are N;
- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;
- $R^{16}$  and  $R^{19}$  are optionally Q<sup>b</sup> with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is Q<sup>b</sup> at the same time and that Q<sup>b</sup> is Q<sup>be</sup>;

- $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;
- 5  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;
- $Q^s$  is selected from the group consisting of a single covalent bond,  $CH_2$ , and  $CH_2CH_2$ .

- 10 5. The compound as recited in Claim 4 having the Formula or a pharmaceutically acceptable salt thereof, wherein;
- B is selected from the group consisting of ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, trimethylene, tetramethylene, butyl, 2-butenyl, 3-butenyl, 2-butyryl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 2,2,2-trifluoroethyl, 3,3,3-trifluoropropyl, and 2,2-difluoropropyl, wherein each
- 15 member of group B is optionally substituted at any carbon up to and including 3 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ , and  $R^{34}$ ;
- $R^{32}$ ,  $R^{33}$ , and  $R^{34}$  are independently selected from the group
- 20 consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro,
- 25 bromo, amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;



A is selected from the group consisting of single covalent bond, NH, and N(CH<sub>3</sub>);

M is selected from the group consisting of N and R<sup>1</sup>-C;

5 R<sup>1</sup> is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

10 R<sup>2</sup> is Z<sup>0</sup>-Q;

Z<sup>0</sup> is selected from the group consisting of a covalent single bond and CH<sub>2</sub>;

15 Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>9</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>10</sup>, a carbon adjacent to R<sup>13</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>12</sup>, and any carbon adjacent to both R<sup>10</sup> and R<sup>12</sup> is optionally substituted by R<sup>11</sup>;

25 R<sup>9</sup>, R<sup>11</sup>, and R<sup>13</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio,

- trifluor methyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

- $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidossulfonyl, N,N-dimethylamidossulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

- 1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$  benzene,  
 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -2- $R^{19}$  pyridine,  
 20 3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$  pyridine, 2- $Q^b$ -4- $Q^s$ -3- $R^{16}$ -6- $R^{18}$  pyrazine,  
 3- $Q^b$ -6- $Q^s$ -2- $R^{18}$ -5- $R^{18}$ -4- $R^{19}$  pyridazine,  
 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$  pyrimidine, 5- $Q^b$ -2- $Q^s$ -3- $R^{16}$ -6- $R^{19}$  pyrimidine,  
 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$  thiophene, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$  thiophene,  
 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$  furan, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$  furan,  
 25 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$  pyrrole, 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$  pyrrole,  
 4- $Q^b$ -2- $Q^s$ -5- $R^{19}$  imidazole, 2- $Q^b$ -4- $Q^s$ -5- $R^{17}$  imidazole,  
 3- $Q^b$ -5- $Q^s$ -4- $R^{16}$  isoxazole, 5- $Q^b$ -3- $Q^s$ -4- $R^{16}$  isoxazole,

2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and

2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,  
 5 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,  
 10 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

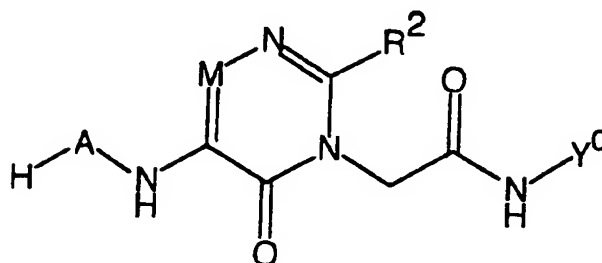
R<sup>16</sup> and R<sup>19</sup> are optionally Q<sup>b</sup> with the proviso that no more than one  
 15 of R<sup>16</sup> and R<sup>19</sup> is Q<sup>b</sup> at the same time and that Q<sup>b</sup> is Q<sup>be</sup>;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, Q<sup>be</sup> wherein Q<sup>be</sup> is hydrido, C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the provisos that no more than one of R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, and R<sup>24</sup> can be hydroxy, when any two of the group consisting of R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, and R<sup>24</sup>  
 20 are bonded to the same atom and that said Q<sup>b</sup> group is bonded directly to a carbon atom;

R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

Q<sup>s</sup> is selected from the group consisting of a single covalent bond,  
 25 CH<sub>2</sub>, and CH<sub>2</sub>CH<sub>2</sub>.

6. The compound as recited in Claim 4 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of  $\text{CH}_2\text{N}(\text{CH}_3)$ ,

5  $\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$ ,  $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_3)$ , and  $\text{CH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_3)$ ;

M is selected from the group consisting of N and  $\text{R}^1\text{-C}$ ;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$\text{R}^2$  is  $\text{Z}^0\text{-Q}$ ;

$\text{Z}^0$  is selected from the group consisting of covalent single bond and

15  $\text{CH}_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 20 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $\text{R}^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $\text{R}^{13}$ , a carbon adjacent to  $\text{R}^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $\text{R}^{10}$ , a carbon adjacent to

$R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

- $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting
- 5 of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-
  - 10 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

- $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of
- 15 hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-
  - 20 dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

- 25  $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$  benzene,
- $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$  pyridine,
- $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$  pyridine,  $2-Q^b-4-Q^s-3-R^{16}-6-R^{18}$  pyrazine,
- $3-Q^b-6-Q^s-2-R^{18}-5-R^{18}-4-R^{19}$  pyridazine,

- $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}$  pyrimidine,  $5-Q^b-2-Q^s-3-R^{16}-6-R^{19}$  pyrimidine,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  furan,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  furan,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  pyrrole,  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  pyrrole,  
5  $4-Q^b-2-Q^s-5-R^{19}$  imidazole,  $2-Q^b-4-Q^s-5-R^{17}$  imidazole,  
 $3-Q^b-5-Q^s-4-R^{16}$  isoxazole,  $5-Q^b-3-Q^s-4-R^{16}$  isoxazole,  
 $2-Q^b-5-Q^s-4-R^{16}$  pyrazole,  $4-Q^b-2-Q^s-5-R^{19}$  thiazole, and  
 $2-Q^b-5-Q^s-4-R^{17}$  thiazole;

- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group  
10 consisting of hydrido, methyl, ethyl, isopropyl, propyl, amidino, guanidino,  
methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-  
aminoethyl, 2-aminoethyl, N-N-methylamino, dimethylamino, N-ethylamino,  
methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl,  
ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl,  
15 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-  
tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-  
methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-  
hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

- $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  
20  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , with the provisos  
that no more than one of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  can be hydroxy, when any  
two of the group consisting of  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ , and  $R^{24}$  are bonded to the  
same atom and that said  $Q^b$  group is bonded directly to a carbon atom;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$Q^S$  is selected from the group consisting of a single covalent bond,

5  $CH_2$ , and  $CH_2CH_2$ .

7. The compound as recited in Claim 6 or a pharmaceutically acceptable salt thereof, wherein;

A is selected from the group consisting of  $CH_2N(CH_3)$ ,

10  $CH_2N(CH_2CH_3)$ ,  $CH_2CH_2N(CH_3)$ , and  $CH_2CH_2N(CH_2CH_3)$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio,

15 trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of covalent single bond and

$CH_2$ ;

Q is selected from the group consisting of 5-amino-3-

20 amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxy-5-aminophenyl, 3-chlorophenyl, 2-chlorophenyl, 3-cyanophenyl, 3-

25 dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl,

2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$  benzene,

5 2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -2- $R^{19}$  pyridine,

3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$  pyridine,

3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$  thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$  thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

15  $Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and methyl;

$Q^s$  is  $CH_2$ .

20

8. A compound as recited in Claim 7 or a pharmaceutically acceptable salt thereof where said compound is selected from the group consisting of:

25 2-[3-[2-[3-aminophenyl]-6-chloro-N-[[4-iminomethylphenyl]methyl]-5-[N,N-dimethylhydrazino]-4-oxo-1(4H)-pyrimidinyl]]acetamide;

2-[3-[2-[3-aminophenyl]-6-chloro-5-[N-ethyl-N-methylhydrazino]-N-[[4-iminomethylphenyl]methyl]-4-oxo-1(4H)-pyrimidinyl]]acetamide;



2-[3-[2-[3-aminophenyl]-6-chloro-5-[N,N-diethylhydrazino]-N-[[4-  
iminomethylphenyl]methyl]-4-oxo-1(4H)-pyrimidinyl]]acetamide;

2-[3-[2-[3-aminophenyl]-5-[N-(azetidin-1-yl)amino]-6-chloro-N-[[4-  
iminomethylphenyl]methyl]-4-oxo-1(4H)-pyrimidinyl]]acetamide;

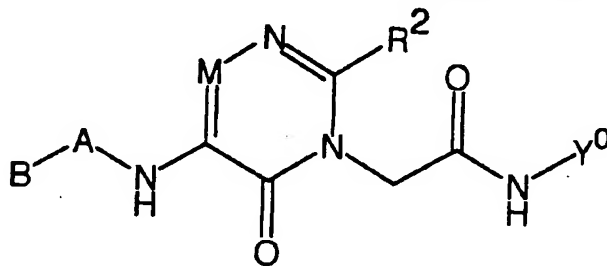
5 2-[4-[3-[3-aminophenyl]-N-[[4-iminomethylphenyl]methyl]-6-[N,N-  
dimethylhydrazino]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide;

2-[4-[3-[3-aminophenyl]-6-[N-ethyl-N-methylhydrazino]-N-[[4-  
iminomethylphenyl]methyl]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide;

10 2-[4-[3-[3-aminophenyl]-6-[N,N-diethylhydrazino]-N-[[4-  
iminomethylphenyl]methyl]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide;

2-[4-[3-[3-aminophenyl]-6-[N-(azetidin-1-yl)amino]-N-[[4-  
iminomethylphenyl]methyl]-5-oxo-1(5H)-1,2,4-triazinyl]]acetamide.

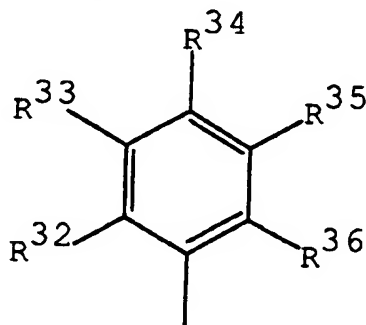
9. The compound as recited in Claim 2 having the Formula:



15

or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:



$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the

20 group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino,  
alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio,  
amidossulfonyl, monoalkyl amidossulfonyl, dialkyl amidossulfonyl, alkyl, halo,

haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}-(W^7)_r$  wherein  $r$  is an integer selected from 0 through 1,  $pa$  is

5 an integer selected from 0 through 3, and  $W^7$  is selected from the group consisting of  $(R^7)NC(O)$  and  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

10 M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

15  $R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and  $CH_2$ ;

20 Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is

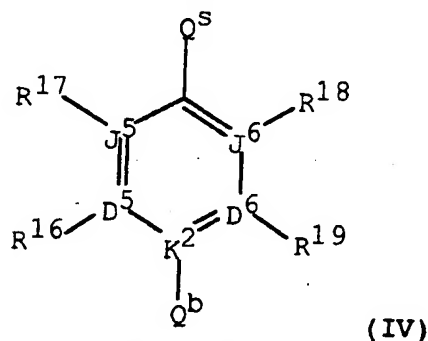
optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is

optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is  
25 optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

$Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl,

alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

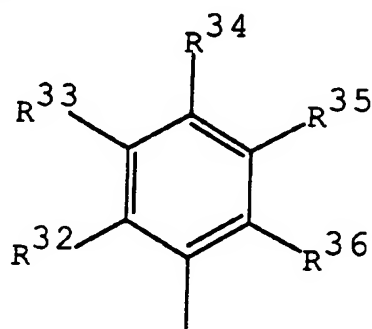
5  $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido, and  $C(NR^{25})NR^{23}R^{24}$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

10  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a single covalent bond,  $CH_2$ , and  $CH_2CH_2$ .

10. The compound as recited in Claim 9 or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:



20  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-

trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl,  
 5 methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

A is selected from the group consisting of single covalent bond, NH,  $N(CH_3)$ ,  $N(OH)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $N(CH_3)C(O)$ ,  $C(O)NH$ ,  $C(O)N(CH_3)$ ,  $CH_2CH_2$ ,  $CH_2CH_2CH_2$ ,  $CH_3CHCH_2$ , and  
 10  $CF_3CHCH_2$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl,  
 15 methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O,  
 20 S, NH, and  $CH_2$ ;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl,  
 25 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at

the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

- 5  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-
- 10 pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;
- 15  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino,
- 20 methanesulfonamido, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;
- 25  $Y^0$  is selected from the group consisting of:
- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine,

- 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine, 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,
- 5 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,
- 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and
- 10 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

- R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,
- 15 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl,
- 20 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

R<sup>16</sup> and R<sup>19</sup> are optionally Q<sup>b</sup> with the proviso that no more than one of R<sup>16</sup> and R<sup>19</sup> is Q<sup>b</sup> at the same time and that Q<sup>b</sup> is Q<sup>be</sup>;

- Q<sup>b</sup> is selected from the group consisting of Q<sup>be</sup> wherein Q<sup>be</sup> is hydrido
- 25 and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, with the proviso that no more than one of R<sup>23</sup> and R<sup>24</sup> is hydroxy at the same time;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, ethyl, and hydroxy;

$Q^5$  is selected from the group consisting of a single covalent bond,  $CH_2$  and  $CH_2CH_2$ .

5

11. The compound as recited in Claim 10 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3-trifluoromethylphenyl;

15

A is selected from the group consisting of  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,  $NHC(O)$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

20

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and  $CH_2$ ;

25

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-

30



hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10  $Y^0$  is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$  benzene,

$2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$  pyridine,

$3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$  pyridine,

$3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene, and  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene;

15  $R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

20  $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

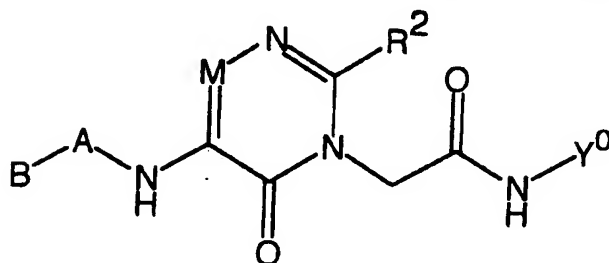
$Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of

25 hydrido and methyl;

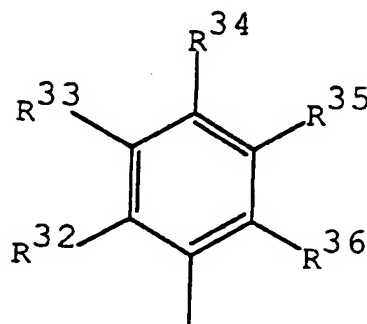
$Q^s$  is  $CH_2$ .

12. The compound as recited in Claim 9 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:



5

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

10

A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}-(W^7)_r$  wherein  $r$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

15

$R^7$  is selected from the group consisting of hydrido and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

5  $R^2$  is  $Z^0$ -Q;

$Z^0$  is a covalent single bond;

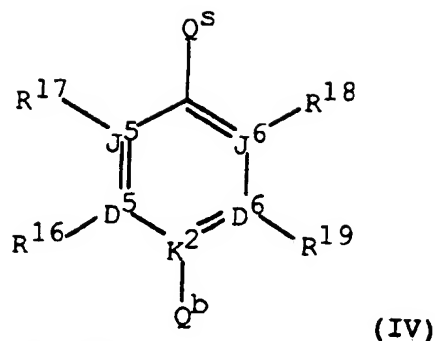
Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is

10 optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

15  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamid sulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

20  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, 25 carboxy, carboxyamido, carboxyalkyl, and cyano;

$Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

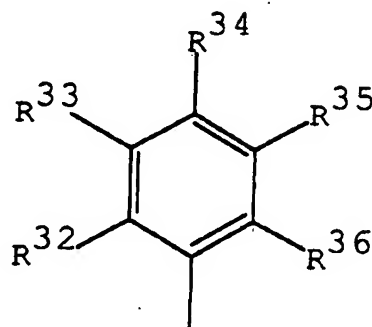
$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido, and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and alkyl;

$Q^s$  is  $CH_2$ .

13. The compound as recited in Claim 12 or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:



5        R<sup>32</sup>, R<sup>33</sup>, R<sup>34</sup>, R<sup>35</sup>, and R<sup>36</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, 10        amidocarbonyl, carboxy, cyano, and Q<sup>b</sup>;

A is selected from the group consisting of single covalent bond, NH, N(CH<sub>3</sub>), CH<sub>2</sub>, CH<sub>3</sub>CH, and CH<sub>2</sub>CH<sub>2</sub>;

M is selected from the group consisting of N and R<sup>1</sup>-C;

15        R<sup>1</sup> is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

20        R<sup>2</sup> is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>9</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup> and two atoms from the carbon at the point of attachment is optionally substituted by

$R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

- $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting
- 5 of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;
- 10  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-
- 15 methylamino, dimethylamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,
- 20 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,
- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,
- 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group
- 25 consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl,

methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$  and

- 5  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that said  $Q^b$  group is bonded directly to a carbon atom;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$  is  $CH_2$ .

10

14. The compound as recited in Claim 13 or a pharmaceutically acceptable salt thereof, wherein;

- 15 B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, and phenyl;

A is selected from the group consisting of  $CH_2$ ,  $CH_3CH$ ,  $CF_3CH$ ,

- 20  $NHC(O)$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

- 25  $R^2$  is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 3-
- 30

- 5 cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of:

- 10  $1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$  benzene,  
 $2-Q^b-5-Q^s-6-R^{17}-4-R^{18}-2-R^{19}$  pyridine,  
 $3-Q^b-6-Q^s-2-R^{16}-5-R^{18}-4-R^{19}$  pyridine,  
 $3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene, and  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene;

- $R^{16}$  and  $R^{19}$  are independently selected from the group consisting of  
 15 hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

- $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of  
 20 hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and methyl;

- 25  $Q^s$  is  $CH_2$ .



15. The compound as recited in Claim 14 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;

A is selected from the group consisting of  $\text{CH}_2$ ,  $\text{NHC(O)}$ ,  $\text{CH}_2\text{CH}_2$ , and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

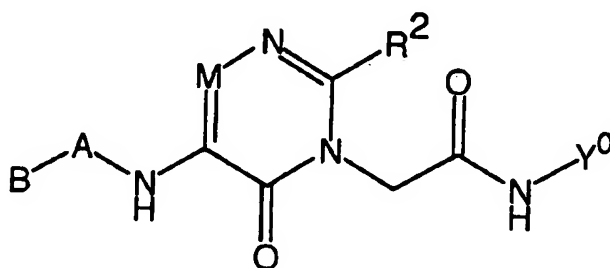
M is selected from the group consisting of N and  $\text{R}^1\text{-C}$ ;

$\text{R}^1$  is selected from the group consisting of hydrido, fluoro, and chloro;

$\text{R}^2$  is selected from the group consisting of 3-aminophenyl, benzyl, 3-chlorophenyl, 3-dimethylaminophenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

$\text{Y}^0$  is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

16. A compound as recited in Claim 9 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

$\text{R}^2$  is 3-aminophenyl, B is 3-chlorophenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, and M is CH;

$\text{R}^2$  is 3-aminophenyl, B is phenyl, A is  $\text{CH}_2$ ,  $\text{Y}^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-dimethylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

5  $R^2$  is 2-methylphenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is phenyl, B is 3-aminophenyl, A is  $C(O)NH$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

10  $R^2$  is phenyl, B is 3-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-(N-methylamino)phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-methylsulfonamidophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

15  $R^2$  is phenyl, B is 4-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-methylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is phenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

20  $R^2$  is 3-methylphenyl, B is 4-phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CCl;

25  $R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CCl;

$R^2$  is phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CCl;

$R^2$  is 3-dimethylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

$R^2$  is 2-methylphenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

5  $R^2$  is phenyl, B is 3-aminophenyl, A is  $C(O)NH$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

$R^2$  is phenyl, B is 3-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

10  $R^2$  is 3-(N-methylamino)phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

$R^2$  is 3-methylsulfonamidophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

$R^2$  is phenyl, B is 4-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

15  $R^2$  is 3-methylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

$R^2$  is phenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

20  $R^2$  is 3-methylphenyl, B is 4-phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CCl$ ;

$R^2$  is 3-aminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CF$ ;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CF$ ;

25  $R^2$  is phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is  $CF$ ;

$R^2$  is 3-dimethylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 2-methylphenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

5  $R^2$  is phenyl, B is 3-aminophenyl, A is  $C(O)NH$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is phenyl, B is 3-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

10  $R^2$  is 3-(N-methylamino)phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 3-methylsulfonamidophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is phenyl, B is 4-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

15  $R^2$  is 3-methylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is phenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 3-methylphenyl, B is 4-phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

20  $R^2$  is 3-aminophenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

25  $R^2$  is phenyl, B is 3-chlorophenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-dimethylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 2-methylphenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is phenyl, B is 3-aminophenyl, A is  $C(O)NH$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

5  $R^2$  is phenyl, B is 3-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-(N-methylamino)phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

10  $R^2$  is 3-methylsulfonamidophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is phenyl, B is 4-amidinophenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-methylaminophenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

15  $R^2$  is phenyl, B is phenyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

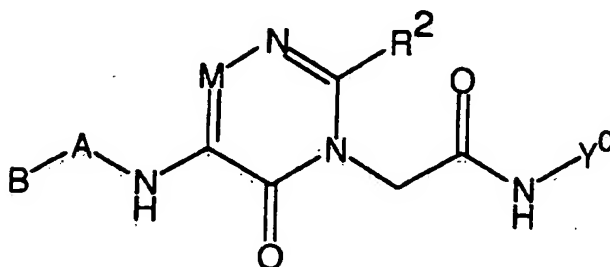
$R^2$  is 3-methylphenyl, B is 4-phenyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N.

20

25

30

17. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

5 B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

10  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}-(W^7)_r$  wherein  $r$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is selected from the group consisting of  $(R^7)NC(O)$  and  $N(R^7)$ ;

20  $R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

5  $R^2$  is  $Z^0-Q$ ;

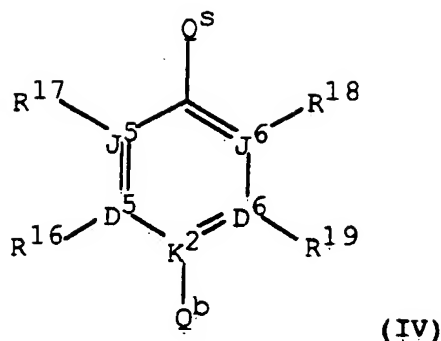
$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and  $CH_2$ ;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted  
10 by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is  
15 optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl,  
20 haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl,  
25 hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

$Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $C(NR^{25})NR^{23}R^{24}$ , and  $N(R^{26})C(NR^{25})(R^{23})(R^{24})$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, and hydroxy;



$Q^5$  is selected from the group consisting of a single covalent bond,  
 $CH_2$ , and  $CH_2CH_2$ .

18. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butylnyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butylnyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butylnyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-

methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;

- 5 A is selected from the group consisting of single covalent bond, NH, N(CH<sub>3</sub>), N(OH), CH<sub>2</sub>, CH<sub>3</sub>CH, CF<sub>3</sub>CH, NHC(O), N(CH<sub>3</sub>)C(O), C(O)NH, C(O)N(CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CHCH<sub>2</sub>, and CF<sub>3</sub>CHCH<sub>2</sub>;

M is selected from the group consisting of N and  $R^1-C$ ;

- 10  $R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;
- 15

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and CH<sub>2</sub>;

- Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 20 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the
- 25 other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally

substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$  is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine,
- 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine, 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,
- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,

- 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,  
 5 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

- R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group  
 consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,  
 10 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino,  
 aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino,  
 N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio,  
 methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl,  
 15 pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,  
 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo,  
 amidosulfonyl, N-methylamidulosulfonyl, N,N-dimethylamidulosulfonyl,  
 hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-  
 hydroxyethyl, and cyano;

- R<sup>16</sup> and R<sup>19</sup> are optionally Q<sup>b</sup> with the proviso that no more than one of  
 20 R<sup>16</sup> and R<sup>19</sup> is Q<sup>b</sup> at the same time and that Q<sup>b</sup> is Q<sup>be</sup>;

Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, Q<sup>be</sup>, wherein Q<sup>be</sup>  
 is hydrido, C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the  
 provisos that no more than one of R<sup>20</sup> and R<sup>21</sup> is hydroxy at the same time and  
 that no more than one of R<sup>23</sup> and R<sup>24</sup> is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$Q^5$  is selected from the group consisting of a single covalent bond,  $CH_2$ , and  $CH_2CH_2$ .

5

19. The compound as recited in Claim 18 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

15

A is selected from the group consisting of single covalent bond,  $CH_2$ ,

$NHC(O)$ ,  $CH_2CH_2$ ,  $CH_2CH_2CH_2$ , and  $CH_3CHCH_2$ ;

20

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

25

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and  $CH_2$ ;

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-

30 hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl,

3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 5 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 10 phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
15 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine,  
3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine,  
3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene;

R<sup>16</sup> and R<sup>19</sup> are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, 20 hydroxymethyl, fluoro, chloro, and cyano;

R<sup>16</sup> and R<sup>19</sup> are optionally Q<sup>b</sup> with the proviso that no more than one of R<sup>16</sup> and R<sup>19</sup> is Q<sup>b</sup> at the same time and that Q<sup>b</sup> is Q<sup>be</sup>;

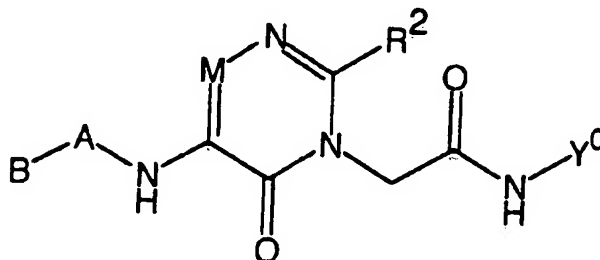
R<sup>17</sup> and R<sup>18</sup> are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano; 25 Q<sup>b</sup> is selected from the group consisting of Q<sup>be</sup> wherein Q<sup>be</sup> is hydrido

and C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>;

R<sup>23</sup>, R<sup>24</sup>, and R<sup>25</sup> are independently selected from the group consisting of hydrido and methyl;

$Q^s$  is  $CH_2$ .

20. The compound as recited in Claim 17 having the Formula:



5 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group

10 consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}-(W^7)_r$  wherein  $r$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

20  $R^7$  is selected from the group consisting of hydrido and alkyl;

$R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

5  $R^2$  is  $Z^0$ -Q;

$Z^0$  is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is

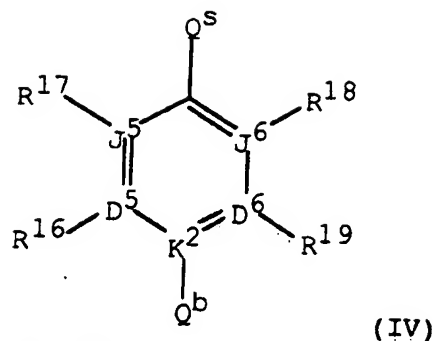
10 optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

15  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

20  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, 25 carboxy, carboxyamido, carboxyalkyl, and cyano;

$Y^0$  is formula (IV):





wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido and alkyl;

$Q^s$  is  $CH_2$ .

21. The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, thyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of R<sup>32</sup>, R<sup>33</sup>, R<sup>34</sup>, R<sup>35</sup>, and R<sup>36</sup>;

20 R<sup>32</sup>, R<sup>33</sup>, R<sup>34</sup>, R<sup>35</sup>, and R<sup>36</sup> are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, hydroxymethyl, 25 amidocarbonyl, carboxy, cyano, and Q<sup>b</sup>;

A is selected from the group consisting of single covalent bond, NH, N(CH<sub>3</sub>), CH<sub>2</sub>, CH<sub>3</sub>CH, and CH<sub>2</sub>CH<sub>2</sub>;

A is optionally selected from the group consisting of CH<sub>2</sub>N(CH<sub>3</sub>), CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>3</sub>), and CH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>) with the 30 proviso that B is hydrido;

M is selected from the group consisting of N and R<sup>1</sup>-C;

R<sup>1</sup> is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

R<sup>2</sup> is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>9</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>10</sup>, a carbon adjacent to R<sup>13</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>12</sup>, and any carbon adjacent to both R<sup>10</sup> and R<sup>12</sup> is optionally substituted by R<sup>11</sup>;

R<sup>9</sup>, R<sup>11</sup>, and R<sup>13</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

R<sup>10</sup> and R<sup>12</sup> are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

Y<sup>0</sup> is selected from the group consisting of:

1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,

- 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 5 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

- 10 Q<sup>b</sup> is selected from the group consisting of NR<sup>20</sup>R<sup>21</sup>, C(NR<sup>25</sup>)NR<sup>23</sup>R<sup>24</sup>, and N(R<sup>26</sup>)C(NR<sup>25</sup>)N(R<sup>23</sup>)(R<sup>24</sup>), with the proviso that  
 15 said Q<sup>b</sup> group is bonded directly to a carbon atom;

R<sup>20</sup>, R<sup>21</sup>, R<sup>23</sup>, R<sup>24</sup>, R<sup>25</sup>, and R<sup>26</sup> are independently selected from the group consisting of hydrido, methyl, and ethyl;

Q<sup>s</sup> is CH<sub>2</sub>.

- 20 22. The compound as recited in Claim 21 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-

hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond,  $\text{CH}_2$ ,

5  $\text{CH}_3\text{CH}$ , and  $\text{CH}_2\text{CH}_2$ ;

M is selected from the group consisting of N and  $\text{R}^1\text{-C}$ ;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

$\text{R}^2$  is selected from the group consisting of 5-amino-3-  
 10 amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-  
 15 hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-  
 20 methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$\text{Y}^0$  is selected from the group consisting of:

25  $1\text{-Q}^b\text{-4Q}^s\text{-2-R}^{16}\text{-3-R}^{17}\text{-5-R}^{18}\text{-6-R}^{19}$  benzene,  
 $2\text{-Q}^b\text{-5Q}^s\text{-6-R}^{17}\text{-4-R}^{18}\text{-2-R}^{19}$  pyridine,  
 $3\text{-Q}^b\text{-6Q}^s\text{-2-R}^{16}\text{-5-R}^{18}\text{-4-R}^{19}$  pyridine,  
 $3\text{-Q}^b\text{-5Q}^s\text{-4-R}^{16}\text{-2-R}^{19}$  thiophene, and  $2\text{-Q}^b\text{-5Q}^s\text{-3-R}^{16}\text{-4-R}^{17}$  thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one  
 5 of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ ;

10  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and methyl;

$Q^s$  is  $CH_2$ .

23. The compound as recited in Claim 22 or a pharmaceutically acceptable salt thereof, wherein;  
 15

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-  
 20 propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and  
 25 4-aminobutyl;

A is selected from the group consisting of single covalent bond,  $CH_2$ ,  $CH_3CH$ , and  $CH_2CH_2$ ;

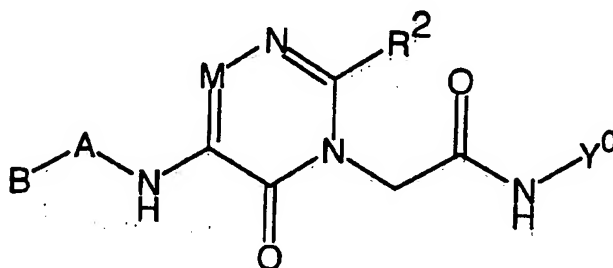
M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, fluoro, and chloro;

$R^2$  is selected from the group consisting of 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-methoxycarbonylphenyl, phenyl, and 3-pyridyl;

$Y^0$  is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

- 10 24. A compound as recited in Claim 17 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

15  $R^2$  is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

20  $R^2$  is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

25  $R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

5  $R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

10  $R^2$  is 3-aminophenyl, B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 3-pentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

15  $R^2$  is 3-aminophenyl, B is hydrido, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

20  $R^2$  is 3-aminophenyl, B is 2-methypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is  $CH_3CH$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is propyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

25  $R^2$  is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;



$R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

5  $R^2$  is 3-aminophenyl, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

10  $R^2$  is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

15  $R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is CH;

20  $R^2$  is 3-carboxyphenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2,2,2-trifluoroethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

25  $R^2$  is 3-aminophenyl, B is (S)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 5-amino-2-fluorophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 2-methyl-3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

5  $R^2$  is 3-aminophenyl, B is ethyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-propenyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

10  $R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is isopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

15  $R^2$  is 3-aminophenyl, B is (R)-2-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-propynyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

20  $R^2$  is 3-aminophenyl, B is 3-pentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is hydrido, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is ethyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

25  $R^2$  is 3-aminophenyl, B is 2-methypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is  $CH_3CH$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is propyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 6-amidocarbonylhexyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

5  $R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is tert-butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

10  $R^2$  is 3-aminophenyl, B is 3-hydroxypropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-methylpropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is butyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

15  $R^2$  is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 3-methoxy-2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

20  $R^2$  is 3-aminophenyl, B is 2-methoxy-2-ethyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

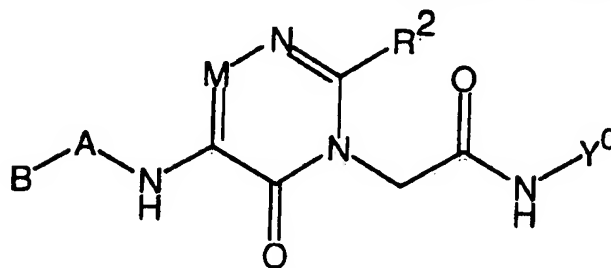
$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 5-amidino-2-thienylmethyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is N;

25  $R^2$  is 3-carboxyphenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-propyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is CH.

25. The compound as recited in Claim 2 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 5        B is selected from the group consisting of C3-C7 cycloalkyl and C4 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbon sand a nitrogen adjacent to
- 10    the carbon atom at the point of attachment is optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of
- 15    attachment is optionally substituted with  $R^{12}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;
- 20         $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl,

amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of

- 5 hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

$R^{33}$  and  $R^{34}$  are independently selected from the group consisting of

- 10 hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and  $Q^b$ ;

A is selected from the group consisting of single covalent bond and

- 15  $(CH(R^{15}))_{pa}-(W^7)_{rr}$  wherein  $rr$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is selected from the group consisting of  $(R^7)NC(O)$  and  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;

- $R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and  
20 haloalkyl;

M is selected from the group consisting of N and  $R^1-C$ ;

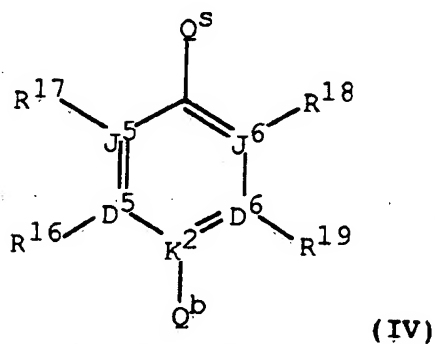
$R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and

- 25 halo;  $R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and  $CH_2$ ;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$Y^0$  is formula (IV):



wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl,

alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

5  $Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido, and  $C(NR^{25})NR^{23}R^{24}$ , with the provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group  
10 consisting of hydrido, alkyl, and hydroxy;

$Q^s$  is selected from the group consisting of a single covalent bond,

$CH_2$ , and  $CH_2CH_2$ .

26. The compound as recited in Claim 25 or a pharmaceutically acceptable salt thereof, wherein;

15 B is selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, bicyclo[3.1.0]hexan-6-yl, and cycloheptyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , ring  
20 carbons and a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally  
substituted with  $R^{10}$ , and a ring carbon or nitrogen adjacent to the  $R^{13}$   
position and two atoms from the point of attachment is optionally substituted  
25 with  $R^{12}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

$R^{33}$  and  $R^{34}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidodisulfonyl, N,N-dimethylamidodisulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and  $Q^b$ ;



A is selected from the group consisting of single covalent bond, NH, N(CH<sub>3</sub>), N(OH), CH<sub>2</sub>, CH<sub>3</sub>CH, CF<sub>3</sub>CH, NHC(O), N(CH<sub>3</sub>)C(O), C(O)NH, C(O)N(CH<sub>3</sub>), CH<sub>2</sub>CH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, CH<sub>3</sub>CHCH<sub>2</sub>, and CF<sub>3</sub>CHCH<sub>2</sub>;

5 M is selected from the group consisting of N and R<sup>1</sup>-C;

R<sup>1</sup> is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 10 methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

R<sup>2</sup> is Z<sup>0</sup>-Q;

Z<sup>0</sup> is selected from the group consisting of a covalent single bond, O, S, NH, and CH<sub>2</sub>;

15 Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent  
20 to the carbon at the point of attachment is optionally substituted by R<sup>9</sup>, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by R<sup>13</sup>, a carbon adjacent to R<sup>9</sup> and two atoms from the carbon at the point of attachment is optionally substituted by R<sup>10</sup>, a carbon adjacent to R<sup>13</sup> and two atoms from the carbon at the point of attachment is optionally  
25 substituted by R<sup>12</sup>, and any carbon adjacent to both R<sup>10</sup> and R<sup>12</sup> is optionally substituted by R<sup>11</sup>;

Y<sup>0</sup> is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>18</sup> pyrazine, 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>18</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridazine,  
 5 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup> pyrimidine, 5-Q<sup>b</sup>-2-Q<sup>s</sup>-3-R<sup>16</sup>-6-R<sup>19</sup> pyrimidine,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> imidazole, 2-Q<sup>b</sup>-4-Q<sup>s</sup>-5-R<sup>17</sup> imidazole,  
 10 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole, 5-Q<sup>b</sup>-3-Q<sup>s</sup>-4-R<sup>16</sup> isoxazole,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup> pyrazole, 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

- R<sup>16</sup>, R<sup>17</sup>, R<sup>18</sup>, and R<sup>19</sup> are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino,  
 15 guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl,  
 20 trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

- R<sup>16</sup> and R<sup>19</sup> are optionally Q<sup>b</sup> with the proviso that no more than one of  
 25 R<sup>16</sup> and R<sup>19</sup> is Q<sup>b</sup> at the same time and that Q<sup>b</sup> is Q<sup>be</sup>;

$Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy at the same time;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of  
 5 hydrido, methyl, ethyl, and hydroxy;

$Q^s$  is selected from the group consisting of a single covalent bond,  $CH_2$  and  $CH_2CH_2$ .

27. The compound as recited in Claim 26 or a pharmaceutically acceptable salt thereof, wherein;  
 10

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl;

A is selected from the group consisting of single covalent bond,  $CH_2$ ,  
 15  $NHC(O)$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio,  
 20 trifluoromethoxy, fluoro, and chloro;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a covalent single bond, O, S, NH, and  $CH_2$ ;

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-  
 25

hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

10  $Y^0$  is selected from the group consisting of:

1- $Q^b$ -4- $Q^s$ -2- $R^{16}$ -3- $R^{17}$ -5- $R^{18}$ -6- $R^{19}$  benzene,

2- $Q^b$ -5- $Q^s$ -6- $R^{17}$ -4- $R^{18}$ -2- $R^{19}$  pyridine,

3- $Q^b$ -6- $Q^s$ -2- $R^{16}$ -5- $R^{18}$ -4- $R^{19}$  pyridine,

3- $Q^b$ -5- $Q^s$ -4- $R^{16}$ -2- $R^{19}$  thiophene, and 2- $Q^b$ -5- $Q^s$ -3- $R^{16}$ -4- $R^{17}$  thiophene;

15  $R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

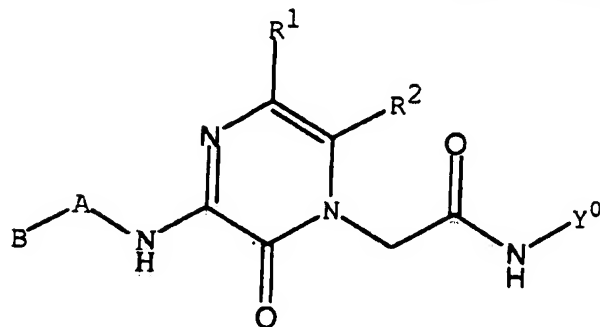
20  $R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of  
25 hydrido and methyl;

$Q^s$  is  $CH_2$ .

28. The compound as recited in Claim 25 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- B is selected from the group consisting of C3-C7 cycloalkyl and C4 saturated heterocyclyl, wherein each ring carbon is optionally substituted with  $R^{33}$ , a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;
- $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower

alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of

- 5 hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxyamido, and cyano;

- 10  $R^{33}$  and  $R^{34}$  are independently selected from the group consisting of hydrido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, and cyano;

- 15  $R^{33}$  is optionally  $Q^b$ ;

A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}(W^7)_{rr}$  wherein  $rr$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is  $N(R^7)$ ;

$R^7$  is selected from the group consisting of hydrido and alkyl;

- 20  $R^{15}$  is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

M is selected from the group consisting of N and  $R^1-C$ ;

- 25  $R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

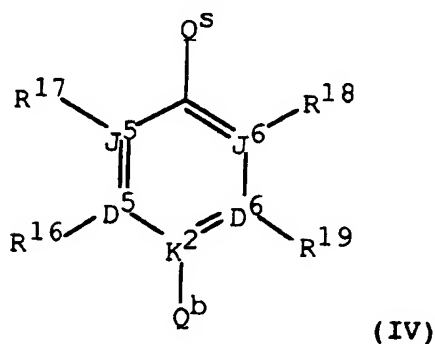
$Z^0$  is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

$Y^0$  is formula (IV):



(IV)

wherein  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond,  $K^2$  is C, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is O, no more than one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  is S, one of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  must be a covalent bond when two of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are O and S, and no more than four of  $D^5$ ,  $D^6$ ,  $J^5$ , and  $J^6$  are N;

$R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido, and  $C(NR^{25})NR^{23}R^{24}$ ;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and alkyl;

$Q^s$  is  $CH_2$ .

29. The compound as recited in Claim 28 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl,

wherein each ring carbon is optionally substituted with  $R^{33}$ , ring carbons and a nitrogen atom adjacent to the carbon atom at the point of attachment is optionally



substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , and a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

- 5  $R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

- 10  $R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, 15 pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

- $R^{13}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, carboxy, 20 amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, cyano, and  $Q^b$ ;

A is selected from the group consisting of single covalent bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

- 25 M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$  is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of

- 5 attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

- 10  $Y^0$  is selected from the group consisting of:

- 1-Q<sup>b</sup>-4-Q<sup>s</sup>-2-R<sup>16</sup>-3-R<sup>17</sup>-5-R<sup>18</sup>-6-R<sup>19</sup> benzene,  
 2-Q<sup>b</sup>-5-Q<sup>s</sup>-6-R<sup>17</sup>-4-R<sup>18</sup>-2-R<sup>19</sup> pyridine, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> thiophene,  
 3-Q<sup>b</sup>-6-Q<sup>s</sup>-2-R<sup>16</sup>-5-R<sup>18</sup>-4-R<sup>19</sup> pyridine, 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> thiophene,  
 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> furan, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> furan,  
 15 3-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>16</sup>-2-R<sup>19</sup> pyrrole, 2-Q<sup>b</sup>-5-Q<sup>s</sup>-3-R<sup>16</sup>-4-R<sup>17</sup> pyrrole,  
 4-Q<sup>b</sup>-2-Q<sup>s</sup>-5-R<sup>19</sup> thiazole, and 2-Q<sup>b</sup>-5-Q<sup>s</sup>-4-R<sup>17</sup> thiazole;

- $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidodisulfonyl, hydroxymethyl, carboxy, and cyano.
- 20

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$  and  $C(NR^{25})NR^{23}R^{24}$ , with the proviso that said  $Q^b$  group is bonded directly to a carbon atom;

$R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group

5 consisting of hydrido, methyl, and ethyl;

$Q^s$  is  $CH_2$ .

30. The compound as recited in Claim 29 or a pharmaceutically acceptable salt thereof, wherein;

10  $B$  is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

$A$  is selected from the group consisting of a single covalent bond,  $CH_2$ ,  $NHC(O)$ ,  $CH_2CH_2$  and  $CH_2CH_2CH_2$ ;

15  $M$  is selected from the group consisting of  $N$  and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

$R^2$  is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyphenyl, 5-amino-2-thienyl, and 3-thienyl;

20  $Y^0$  is selected from the group consisting of:

$1-Q^b-4-Q^s-2-R^{16}-3-R^{17}-5-R^{18}-6-R^{19}$  benzene,

$3-Q^b-5-Q^s-4-R^{16}-2-R^{19}$  thiophene, and  $2-Q^b-5-Q^s-3-R^{16}-4-R^{17}$  thiophene;

$R^{16}$  and  $R^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

25  $R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$R^{17}$  and  $R^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$  is selected from the group consisting of  $Q^{be}$  wherein  $Q^{be}$  is hydrido and  $C(NR^{25})NR^{23}R^{24}$ ;

5  $R^{23}$ ,  $R^{24}$ , and  $R^{25}$  are independently selected from the group consisting of hydrido and methyl;

$Q^s$  is  $CH_2$ .

10 31. The compound as recited in Claim 30 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

15 A is selected from the group consisting of a single covalent bond,  $CH_2$ ,  $CH_2CH_2$  and  $CH_2CH_2CH_2$ ;

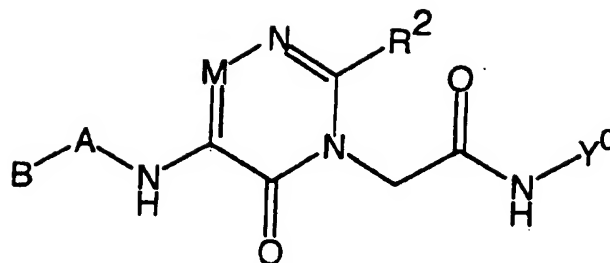
M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, fluoro, and chloro;

20  $R^2$  is selected from the group consisting of 3-aminophenyl, 2,6-dichlorophenyl, 2-hydroxyphenyl, phenyl, 5-amino-2-thienyl, and 3-thienyl;

$Y^0$  is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

25 32. A compound as recited in Claim 25 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

5  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

10  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is CH;

15  $R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

20  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is cyclohexyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 2-hydroxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

5  $R^2$  is phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

10  $R^2$  is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CH;

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

15  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

20  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

25  $R^2$  is 5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is N;

5  $R^2$  is 3-aminophenyl, B is cyclohexyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 2-hydroxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

10  $R^2$  is phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 3-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

$R^2$  is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is N;

15  $R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CF;

20  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CF;

$R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

25  $R^2$  is 3-aminophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidino-3-fluorobenzyl, and M is CF;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 5-amino-2-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 3-aminophenyl, B is cyclopropyl, A is  $CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

5  $R^2$  is 3-aminophenyl, B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 3-aminophenyl, B is cyclopentyl, A is single bond,  $Y^0$  is 4-amidino-2-fluorobenzyl, and M is CF;

10  $R^2$  is 3-aminophenyl, B is cyclohexyl, A is  $CH_2CH_2$ ,  $Y^0$  is 4-amidinobenzyl, and M is CF;

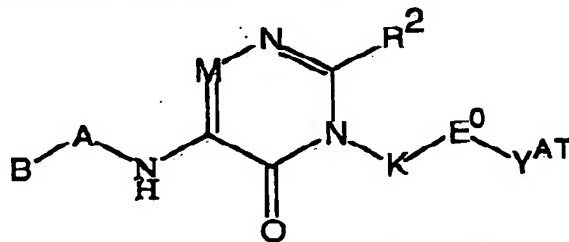
$R^2$  is 2-hydroxyphenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is phenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

15  $R^2$  is 3-thienyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF;

$R^2$  is 2,6-dichlorophenyl, B is cyclobutyl, A is single bond,  $Y^0$  is 4-amidinobenzyl, and M is CF.

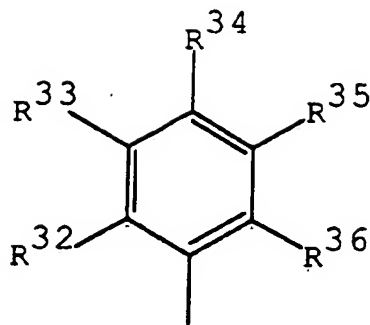
20 33. The compound having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

B is the Formula:





R<sup>32</sup>, R<sup>33</sup>, R<sup>34</sup>, R<sup>35</sup>, and R<sup>36</sup> are independently selected from the

group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylendioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and Q<sup>b</sup>;

B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of R<sup>32</sup>, R<sup>33</sup>, R<sup>34</sup>, R<sup>35</sup>, and R<sup>36</sup>;

B is optionally selected from the group consisting of C3-C12 cycloalkyl and C4-C saturated heterocyclyl, wherein each ring carbon is optionally substituted with R<sup>33</sup>, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with R<sup>9</sup> or R<sup>13</sup>, a ring carbon or nitrogen adjacent to the R<sup>9</sup> position and two atoms from the point of attachment is optionally

- substituted with  $R^{10}$ , a ring carbon or nitrogen adjacent to the  $R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{10}$  position is optionally substituted with  $R^{11}$ , a ring carbon three atoms from the point of attachment and adjacent to the  $R^{12}$  position is optionally substituted with  $R^{33}$ , and a ring carbon four atoms from the point of attachment and adjacent to the  $R^{11}$  and  $R^{33}$  positions is optionally substituted with  $R^{34}$ ;
- $R^9$ ,  $R^{10}$ ,  $R^{11}$ ,  $R^{12}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;
- A is selected from the group consisting of single covalent bond and  $(CH(R^{15}))_{pa}-(W^7)_r$  wherein  $r$  is an integer selected from 0 through 1,  $pa$  is an integer selected from 0 through 3, and  $W^7$  is selected from the group consisting of O, S,  $C(O)$ ,  $(R^7)NC(O)$ ,  $(R^7)NC(S)$ , and  $N(R^7)$ ;
- $R^7$  is selected from the group consisting of hydrido, hydroxy and alkyl;
- $R^{15}$  is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;
- M is selected from the group consisting of N and  $R^1-C$ ;
- $R^1$  is selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$  is  $Z^0-Q$ ;

$Z^0$  is selected from the group consisting of a valent single bond and  $(CR^{41}R^{42})_q$  wherein  $q$  is an integer selected from 1 through 2,  $(CH(R^{41}))_g-W^0-(CH(R^{42}))_p$  wherein  $g$  and  $p$  are integers independently selected from 0 through 3 and  $W^0$  is selected from the group consisting of O, S, and  $N(R^{41})$ , and  $(CH(R^{41}))_e-W^{22}-(CH(R^{42}))_h$  wherein  $e$  and  $h$  are integers independently selected from 0 through 1 and  $W^{22}$  is selected from the group consisting of  $CR^{41}=CR^{42}$ , 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that  $Z^0$  is directly bonded to the pyrazinone ring;

$R^{41}$  and  $R^{42}$  are independently selected from the group consisting of hydrido, hydroxy, and amino;

$Q$  is selected from the group consisting of hydrido with the proviso that  $Z^0$  is other than a covalent single bond, aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally

substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

K is  $CHR^{4a}$  wherein  $R^{4a}$  is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

5  $E^0$  is selected from the group consisting of a covalent single bond,  $C(O)N(H)$ ,  $(H)NC(O)$ ,  $(R^7)NS(O)_2$ , and  $S(O)_2N(R^7)$ ;

$Y^{AT}$  is  $Q^b-Q^s$ ;

$Q^s$  is  $(CR^{37}R^{38})_b$  wherein b is an integer selected from 1 through 4,

$R^{37}$  is selected from the group consisting of hydrido, alkyl, and haloalkyl, and

10  $R^{38}$  is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl, and heteroaroyl with the provisos that there is at least one aroyl or heteroaroyl substituent, that no more than one aroyl or heteroaroyl is bonded to

$(CR^{37}R^{38})_b$  at the same time, that said aroyl and said heteroaroyl are

optionally substituted at from one through three of the ring carbons with a  
15 substituent selected from the group consisting of  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$ ,

that said aroyl and said heteroaroyl are bonded to the  $CR^{37}R^{38}$  that is directly

bonded to  $E^0$ , that is no more than one alkyl or one haloalkyl is bonded to a

$CR^{37}R^{38}$  at the same time, and that said alkyl and haloalkyl are bonded to a

carbon other than the one bonding the aroyl or heteroaroyl;

20  $R^{16}$ ,  $R^{17}$ ,  $R^{18}$ , and  $R^{19}$  are independently selected from the group

consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

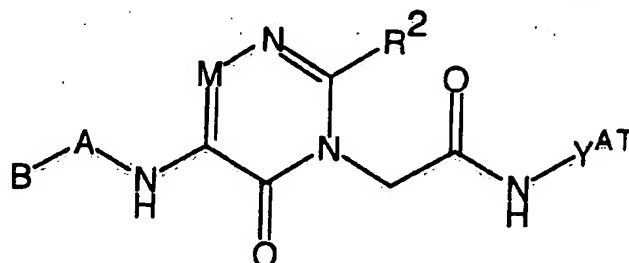
$R^{16}$  and  $R^{19}$  are optionally  $Q^b$  with the proviso that no more than one of  $R^{16}$  and  $R^{19}$  is  $Q^b$  at the same time and that  $Q^b$  is  $Q^{be}$ ;

$Q^b$  is selected from the group consisting of  $NR^{20}R^{21}$ ,  $Q^{be}$  wherein  $Q^{be}$  is hydrido,  $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$ , and  $C(NR^{25})NR^{23}R^{24}$ , with the

- 5 provisos that no more than one of  $R^{20}$  and  $R^{21}$  is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of  $R^{23}$  and  $R^{24}$  is hydroxy, amino, alkylamino, or dialkylamino at the same time;

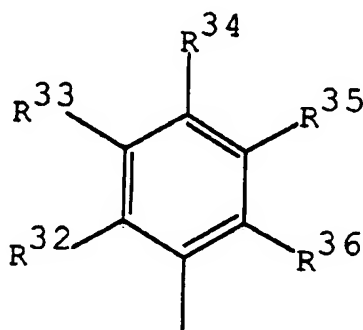
- 10  $R^{20}$ ,  $R^{21}$ ,  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ , and  $R^{26}$  are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino.

34. The compound as recited in Claim 33 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

- 15 B is the Formula:



$R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$  are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and  $Q^b$ ;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butylnyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptylnyl, 3-heptylnyl, 4-heptylnyl, 5-heptylnyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of  $R^{32}$ ,  $R^{33}$ ,  $R^{34}$ ,  $R^{35}$ , and  $R^{36}$ ;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl, wherein each ring carbon is optionally substituted with  $R^{33}$ , ring carbons and anitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with  $R^9$  or  $R^{13}$ , a ring carbon or nitrogen adjacent to the  $R^9$  position and two atoms from the point of attachment is optionally substituted with  $R^{10}$ , and a ring carbon or nitrogen adjacent to the

$R^{13}$  position and two atoms from the point of attachment is optionally substituted with  $R^{12}$ ;

$R^9$ ,  $R^{11}$ , and  $R^{13}$  are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$  and  $R^{12}$  are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamid sulfonyl, N,N-dimethylamid sulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of single covalent bond, NH,  $N(CH_3)$ ,  $CH_2$ ,  $CH_3CH$ ,  $CH_2CH_2$ , and  $CH_2CH_2CH_2$ ;

M is selected from the group consisting of N and  $R^1-C$ ;

$R^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$  is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^9$ , the other carbon adjacent to the carbon at the point of attachment is optionally substituted by  $R^{13}$ , a carbon adjacent to  $R^9$  and two atoms from the carbon at the point of attachment is optionally substituted by

$R^{10}$ , a carbon adjacent to  $R^{13}$  and two atoms from the carbon at the point of attachment is optionally substituted by  $R^{12}$ , and any carbon adjacent to both  $R^{10}$  and  $R^{12}$  is optionally substituted by  $R^{11}$ ;

$Y^{AT}$  is  $Q^b-Q^s$ ;

5  $Q^s$  is selected from the group consisting of:

$C[R^{37}(\text{benzoyl})](CR^{37}R^{38})_b$ ,

$C[R^{37}(2\text{-pyridylcarbonyl})](CR^{37}R^{38})_b$ ,

$C[R^{37}(3\text{-pyridylcarbonyl})](CR^{37}R^{38})_b$ ,

$C[R^{37}(4\text{-pyridylcarbonyl})](CR^{37}R^{38})_b$ ,

10  $C[R^{37}(2\text{-thienylcarbonyl})](CR^{37}R^{38})_b$ ,

$C[R^{37}(3\text{-thienylcarbonyl})](CR^{37}R^{38})_b$ ,

$C[R^{37}(2\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b$ ,

$C[R^{37}(4\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b$ , and

$C[R^{37}(5\text{-thiazolylcarbonyl})](CR^{37}R^{38})_b$ , wherein b is an integer selected

15 from 1 through 3,  $R^{37}$  and  $R^{38}$  are independently selected from the group consisting of hydrido, alkyl, and haloalkyl, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of  $R^{16}$ ,

$R^{17}$ ,  $R^{18}$ , and  $R^{19}$  with the proviso that  $R^{17}$  and  $R^{18}$  are optionally

20 substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, that said benzoyl and said heteroaroyl are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group,



and that is no more than one alkyl or one haloalkyl is bonded to a  $\text{CR}^{37}\text{R}^{38}$  at the same time;

$\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ , and  $\text{R}^{19}$  are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano;

$\text{Q}^b$  is selected from the group consisting of  $\text{NR}^{20}\text{R}^{21}$  and  $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$ , with the proviso that said  $\text{Q}^b$  group is bonded directly to a carbon atom;

$\text{R}^{20}$ ,  $\text{R}^{21}$ ,  $\text{R}^{23}$ ,  $\text{R}^{24}$ , and  $\text{R}^{25}$  are independently selected from the group consisting of hydrido, methyl, and ethyl.

35. The compound as recited in Claim 34 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3-trifluoromethylphenyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-

methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of single covalent bond,  $\text{CH}_2$ ,  $\text{CH}_3\text{CH}$ ,  $\text{CH}_2\text{CH}_2$ , and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

M is selected from the group consisting of N and  $\text{R}^1\text{-C}$ ;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$\text{R}^2$  is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$\text{Y}^{\text{AT}}$  is  $\text{Q}^{\text{b}}\text{-Q}^{\text{s}}$ ;

$\text{Q}^{\text{s}}$  is selected from the group consisting of:

$[\text{CH}(\text{benzoyl})](\text{CH}_2)_{\text{b}}$ ,  $[\text{CH}(2\text{-pyridylcarbonyl})](\text{CH}_2)_{\text{b}}$ ,

$[\text{CH}(3\text{-pyridylcarbonyl})](\text{CH}_2)_b$ ,  $[\text{CH}(4\text{-pyridylcarbonyl})](\text{CH}_2)_b$ ,

$[\text{CH}(2\text{-thienylcarbonyl})](\text{CH}_2)_b$ ,  $[\text{CH}(3\text{-thienylcarbonyl})](\text{CH}_2)_b$ ,

$[\text{CH}(2\text{-thiazolylcarbonyl})](\text{CH}_2)_b$ ,  $[\text{CH}(4\text{-thiazolylcarbonyl})](\text{CH}_2)_b$ ,

and  $[\text{CH}(5\text{-thiazolylcarbonyl})](\text{CH}_2)_b$ , wherein  $b$  is an integer selected from 1

- 5 through 3, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of  $\text{R}^{16}$ ,  $\text{R}^{17}$ ,  $\text{R}^{18}$ , and  $\text{R}^{19}$  with the proviso that  $\text{R}^{17}$  and  $\text{R}^{18}$  are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, and that said benzoyl and said heteroaroyl substituent are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonylmethylene) group;

- 10  $\text{R}^{16}$  and  $\text{R}^{19}$  are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$\text{R}^{17}$  and  $\text{R}^{18}$  are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$\text{Q}^b$  is  $\text{C}(\text{NR}^{25})\text{NR}^{23}\text{R}^{24}$ ;

- 15  $\text{R}^{23}$ ,  $\text{R}^{24}$ , and  $\text{R}^{25}$  are independently selected from the group consisting of hydrido and methyl.

20 36. The compound as recited in Claim 35 or a pharmaceutically acceptable salt thereof, wherein;

- B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;

B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl, (S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-

trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanoethyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;

A is selected from the group consisting of a single covalent bond,  $\text{CH}_2$ ,  $\text{CH}_2\text{CH}_2$  and  $\text{CH}_2\text{CH}_2\text{CH}_2$ ;

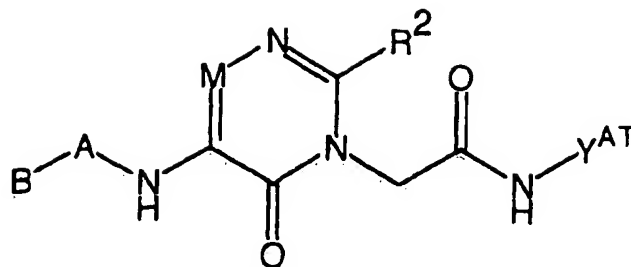
M is selected from the group consisting of N and  $\text{R}^1\text{-C}$ ;

$\text{R}^1$  is selected from the group consisting of hydrido, hydroxy, amino, methyl, trifluoromethyl, fluoro, and chloro;

$\text{R}^2$  is selected from the group consisting of 3-aminophenyl, benzyl, 2,6-dichlorophenyl, 5-amino-2-thienyl, 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-chlorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonaminophenyl, 3-methoxycarbonylphenyl, 3-dimethylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-pyridyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

$\text{Y}^{\text{AT}}$  is selected from the group consisting of 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(5-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

37. A compound as recited in Claim 33 where said compound is selected from the group having the Formula:



or a pharmaceutically acceptable salt thereof, wherein:

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

5  $R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

$R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

10  $R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

$R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CH;

15  $R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

20  $R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

$R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CF;

5  $R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;

10  $R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;

$R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;

15  $R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is CCl;

$R^2$  is 3-aminophenyl, B is phenyl, A is  $CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;

20  $R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;

$R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;

$R^2$  is phenyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;

25  $R^2$  is benzyl, B is phenyl, A is  $CH_2CH_2$ ,  $Y^{AT}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N;

$R^2$  is phenyl, B is phenyl, A is  $\text{CH}_2\text{CH}_2$ ,  $\text{Y}^{\text{AT}}$  is 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, and M is N.

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- 5 38. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 8, 16, 24, 32, and 37 and a pharmaceutically acceptable carrier.
- 10 39. A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims 1 through 7, Claims 9 through 15, Claims 17 through 23, Claims 25 through 31, and Claims 33 through 36 and a pharmaceutically acceptable carrier.
- 15 40. A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 20 41. A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 25 42. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 30 43. A method for treating or preventing venous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
44. A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of of any one of Claims 38 and 39.

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45. A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 5 46. A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 10 47. A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 15 48. A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 38 and 39.
- 20 49. A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of any one of Claims 1 through 37 with a therapeutically effective amount of fibrinogen receptor antagonist.
- 25 50. The use of a compound of any one of Claims 1 through 37, or a pharmaceutically acceptable salt thereof, in the manufacture of medicament for inhibiting thrombus formation, treating thrombus formation, or preventing thrombus formation in a mammal.